

REMARKS

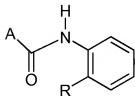
Claim 1 has been amended to more specifically define the "Het" moiety as pyrrolyl, pyrazolyl or thiazolyl. R³ has been amended to more specifically define the optional substituents. Support for this amendment is found on pages 1 and 2 of the specification and the experimental examples. Claim 2 has been canceled in view of the amendments to claim 1. Claims 5-6 have been amended to be more in line with amended Claim 1. Claims 7 and 8 have been re-written as Independent claims. Claim 11 has been added. The claimed compound finds support as compound no. 2.34 (disclosed in tables 2 and 7 of the application as originally filed). No new matter has been added.

Claims 1-10 have been rejected as allegedly being unpatentable under 35 U.S.C. 103(a) over EICKEN et al. U.S. Patent Nos. 5,480,897; 5,330,995 and 5,556,988 and EICKEN, KARL et al. (EP 545 099). Applicants respectfully traverse.

The four Eicken references are all related and claim priority to the same DE priority documents (US '897 is a divisional of US '995 and US '988 is a divisional of US '897. EP '099 is the European equivalent of the US patent family). As the disclosure of these references is the same, they will be referred to together as Eicken.

The present invention relates to novel fungicidally active pyrrole-, pyrazole- or thiazole-carboxanilides, which have a common structural feature: a substituted cyclopropyl group in the ortho-position on the anilide.

Eicken describes carboxanilides of the general formula:



wherein A is selected from eight ring systems, including pyrazoles and thiazoles, and the ortho-substituent R covers a broad variety of radicals ranging from unsubstituted C2-12alkyl to substituted phenyl, including unsubstituted and alkyl-substituted C3-C6-cycloalkyl.

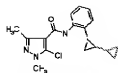
In contrast to these broad substituent definitions of compounds of Eicken, the compounds according to the present invention have very specific substituents. The acid moiety "Het" according to the present invention is selected only from pyrrolyl, pyrazolyl and thiazolyl; the ortho-substituent of the anilide is a substituted cyclopropyl group. This specific substitution pattern of compounds according to the present invention is neither disclosed in nor suggested by Eicken.

The structurally closest compounds of Eicken are compounds no. 10.50 and 9.50. In those compounds, the acid moiety A is pyrazolyl (compound no. 10.50) or thiazolyl (compound no. 9.50) and the substituent R is an unsubstituted cyclopropyl group. The only structural difference between these compounds and the compounds according to the present invention is the substituent at the cyclopropyl group.

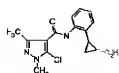
In order to demonstrate that this inventive concept is clearly superior to the compounds known from Eicken, applicants enclose a Declaration from Dietrich Hermann pursuant to 37 CFR 1.132 providing comparative biological examples, wherein the fungicidal activity of compounds 10.50 and 9.50 of Eicken is compared with the fungicidal activity of compounds according to the present invention.

In the Declaration, the activity against *Puccinia recondita* (Brown rust) on wheat was tested (see also example B-1 on page 30 of the description of the present invention). This disease is one of the most important wheat diseases worldwide. Under humid and hot conditions this disease progresses very quickly and early infections cause high yield losses through rapid reduction of photosynthetic capacity. Therefore it is highly desirable to provide a fungicidal compound which is capable to effectively fight this disease.

In Comparative Example 1 of the Declaration, the fungicidal activity of compounds, wherein "Het"/"A" is pyrazole is compared: the activity of compound no. 2.36 according to the present invention (see Table 2, pages 4-7 of the specification) and compound A (5-Chloro-1,3-dimethyl-1H-pyrazole-4-carboxylic acid [2-(2-ethyl-cyclopropyl)-phenyl]-amide, encompassed by the present invention) is compared with the activity of compound no. 10.50 according to Eicken. The distinguishing structural feature between compounds according to the invention and the prior art compound is highlighted.



Compound 2.38 according to the present invention



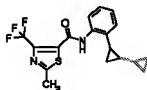
Compound A according to the present invention



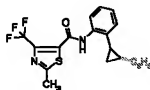
Compound 10.50 according to the prior art

This example demonstrates the superior fungicidal activity of both compounds according to the present invention. Compound 2.36 and compound A were able to control *Puccinia recondita* at application rates of 20 ppm and 6 ppm, whereas compound no. 10.50 according to Eicken was totally ineffective at these concentrations. The only structural difference between these compounds is the substituent at the cyclopropyl group in compounds according to the invention, which is either an additional cyclopropyl group or an ethyl group.

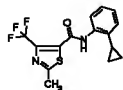
In Comparative Example 2 of the Declaration, the fungicidal activity of compounds, wherein "Het"/"A" is thiazole, is compared: the activity of compounds no. 4.20 and 4.1 according to the present invention (see Table 4 of the specification) is compared with the activity of compound no. 9.50 according to Eicken. The distinguishing structural feature between compounds according to the invention and the prior art compound is highlighted.



Compound 4.20 according to the present invention



Compound 4.1 according to the present invention



Compound 9.50 according to the prior art

This example shows the superior fungicidal activity of compounds according to the present invention. Compounds 4.20 and 4.1 are able to control *Puccinia recondita* at an application rate of 20 ppm, whereas compound no. 9.50 according to Eicken is totally ineffective at this concentration. Again, the only structural difference between these compounds is the substituent at the cyclopropyl group in compounds according to the invention, which is either an additional cyclopropyl group or an ethyl group.

In summary, if the substituent at the cyclopropyl group is replaced by hydrogen, the fungicidal activity of the compound is reduced drastically. All compounds of the present invention have the above-mentioned concept in common. The comparative biological examples with compounds known from Eicken demonstrate in a convincing way the superiority of this inventive concept.

Merely 12 of the 967 compounds disclosed in Eicken show a cyclopropyl group in the ortho position of the anilide. None of these 12 compounds have a substituent at said cyclopropyl group. Considering this teaching of Eicken, it is clear to the skilled person that for this type of fungicides it is preferred to have an unsubstituted cyclopropyl group in the ortho position of the anilide. Consequently, there is no hint to suggest the introduction of an additional substituent at the cyclopropyl group to obtain compounds with increased fungicidal activity, which is the inventive concept of the present invention. Therefore, as nearly all compounds disclosed in Eicken actually lead away from this inventive concept, a person having ordinary skill in the art is clearly not motivated to introduce the changes in the molecules disclosed in Eicken which are necessary to obtain the superior fungicidal compounds according to the present invention.

In view of the surprising and unexpected superiority of the compounds according to the present invention, such compounds clearly involve an inventive step.

In summary, we submit that the amended claims relate to novel and inventive compounds and the use thereof and we request favourable re-consideration of the present application.

In view of the above amendments and arguments, Applicant respectfully submits that the rejections under 35 U.S.C. § 103(a) have been overcome and hereby request that this application be passed to issue.

As this response is submitted within 5 months from the mailing date of the Office Action, a 2-month extension of time is included herewith.

However, in the event the undersigned is mistaken in his calculations, an appropriate extension of time to respond is respectfully requested, and the Commissioner is authorised to debit the appropriate fee for that extension, or any other fee, from the deposit account of the undersigned, no 50-1676 in the name of Syngenta Crop Protection, Inc.

Respectfully submitted,

USPTO Customer No. 26748
Syngenta Crop Protection, Inc.
Patent and Trademark Dept.
410 Swing Road
Greensboro, NC 27409
Telephone: (336) 632-7586
Facsimile: (336) 632-2012

/THOMAS HAMILTON/
Thomas Hamilton
Attorney for Applicant
Reg. No. 40,464

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